

10/587,771

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NEWS 26 AUG 27 CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information

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AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FILE COVERS 1907 - 29 Aug 2008 VOL 149 ISS 10
FILE LAST UPDATED: 28 Aug 2008 (20080828/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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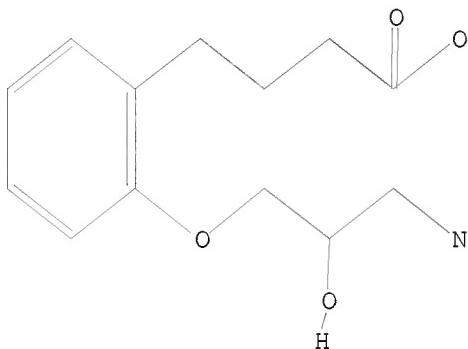
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<http://www.cas.org/legal/infopolicy.html>

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Structure attributes must be viewed using STN Express query preparation.

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REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:57:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1083 TO ITERATE

100.0% PROCESSED 1083 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.01

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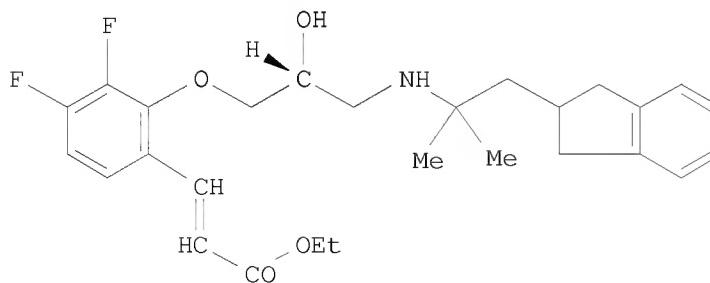
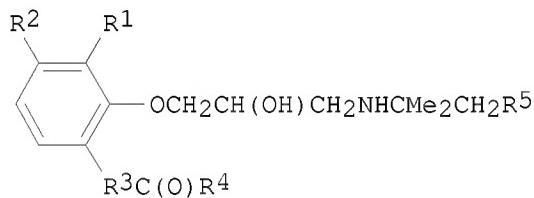
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L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:902849 CAPLUS
DOCUMENT NUMBER: 143:229575
TITLE: Preparation of amino-hydroxy-functionalized-aromatic carboxy compounds as calcilytic compounds useful

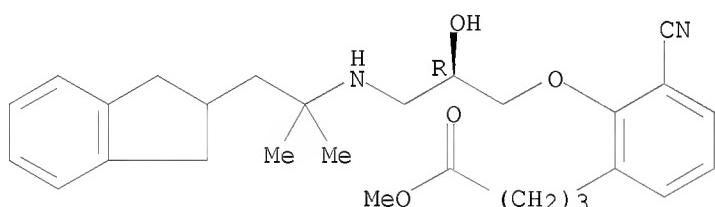
against bone and mineral diseases
 INVENTOR(S): Marquis, Robert W., Jr.; Ramanjulu, Joshi M.
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005077892	A1	20050825	WO 2005-US3499	20050204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1713767	A1	20061025	EP 2005-712810	20050204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007523076	T	20070816	JP 2006-552249 US 2004-542554P	20050204 P 20040206
PRIORITY APPLN. INFO.:			WO 2005-US3499	W 20050204
OTHER SOURCE(S): GI	CASREACT 143:229575; MARPAT 143:229575			



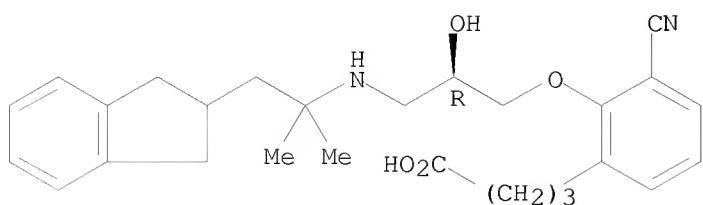
- AB Novel calcilytic compds. (inhibitors of Ca receptor activity) (shown as I; R1 = H, CN, and halogen; R2 = H, halogen, CN, NO₂, and SO₂R₄; R3 = (un)substituted C₀-6 alkyl, and C₀-6 alkenyl; R4 = OH, (un)substituted OC₁-7alkyl; NH₂, and NHR₄; R5 = aryl, fused aryl, dihydro, tetrahydro fused aryl, and heteroaryl, (un)substituted with OH, halogen, Cl-4 alkyl, C1-4 alkoxy, CF₃, OCF₃, CN and NO; e.g. (E)-3-[3,4-difluoro-2-[(R)-2-hydroxy-3-[2-(indan-2-yl)-1,1-dimethylethyl]amino]propyl]oxy]phenyl]-2-propenoic acid Et ester (shown as II)) and methods of using them are provided. No data is provided for the calcilytic activity of I. Although the methods of preparation are not claimed, 13 example preps. are included. For example, II was prepared in 4 steps (18, 87, 80, and 82 % yields) starting with bromination of 2,3-difluorophenol and involving intermediates 6-bromo-2,3-difluorophenol, (R)-2-[(6-bromo-2,3-difluorophenoxy)methyl]oxirane, and (R)-1-(6-bromo-2,3-difluorophenoxy)-3-[(2-(indan-2-yl)-1,1-dimethylethyl)amino]propan-2-ol.
- IT 862992-99-2P, 4-[3-Cyano-2-[(R)-2-hydroxy-3-[2-(indan-2-yl)-1,1-dimethylethyl]amino]propyl]oxy]phenyl]butyric acid methyl ester
 862993-00-8P, 4-[3-Cyano-2-[(R)-2-hydroxy-3-[2-(indan-2-yl)-1,1-dimethylethyl]amino]propyl]oxy]phenyl]butyric acid hydrochloride
 862993-07-5P, 4-[3-Cyano-2-[(R)-2-hydroxy-3-[2-(indan-2-yl)-1,1-dimethylethyl]amino]propyl]oxy]phenyl]butyric acid
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of amino-hydroxy-functionalized-aromatic carboxy
 compds. as calcilytic compds. useful against bone and mineral diseases)
- RN 862992-99-2 CAPLUS
 CN Benzenebutanoic acid, 3-cyano-2-[(2R)-3-[(2-(2,3-dihydro-1H-inden-2-yl)-1,1-dimethylethyl)amino]-2-hydroxypropoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



- RN 862993-00-8 CAPLUS
 CN Benzenebutanoic acid, 3-cyano-2-[(2R)-3-[(2-(2,3-dihydro-1H-inden-2-yl)-1,1-dimethylethyl)amino]-2-hydroxypropoxy]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

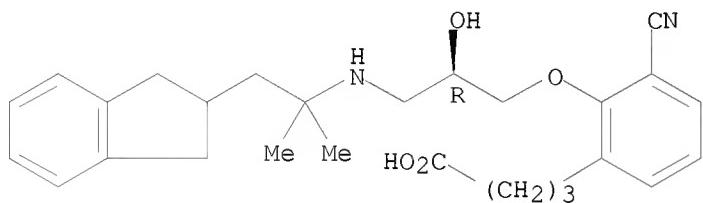


● HCl

RN 862993-07-5 CAPLUS

CN Benzenebutanoic acid, 3-cyano-2-[(2R)-3-[(2-(2,3-dihydro-1H-inden-2-yl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]- (CA INDEX NAME)

Absolute stereochemistry.



IT 862993-01-9P, 4-[3-Cyano-2-[(R)-2-hydroxy-3-[(2-(indan-2-yl)-1,1-dimethylethyl]amino]propyl]oxy]phenylbutyric acid ethyl ester hydrochloride 862993-08-6P, 4-[3-Cyano-2-[(R)-2-hydroxy-3-[(2-(indan-2-yl)-1,1-dimethylethyl]amino]propyl]oxy]phenylbutyric acid ethyl ester

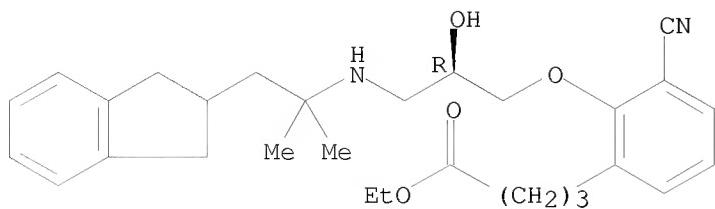
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of amino-hydroxy-functionalized-aromatic carboxy compds. as calcilytic compds. useful against bone and mineral diseases)

RN 862993-01-9 CAPLUS

CN Benzenebutanoic acid, 3-cyano-2-[(2R)-3-[(2-(2,3-dihydro-1H-inden-2-yl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

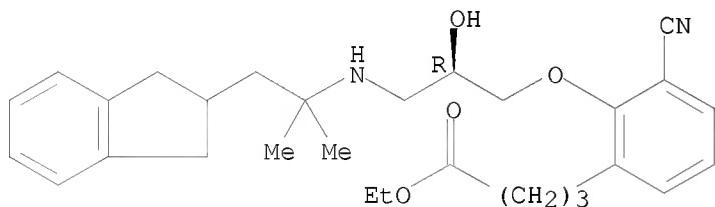


● HCl

RN 862993-08-6 CAPLUS

CN Benzenebutanoic acid, 3-cyano-2-[(2R)-3-[(2-(2,3-dihydro-1H-inden-2-yl)-1,1-dimethylethyl]amino]-2-hydroxypropoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:515008 CAPLUS

DOCUMENT NUMBER: 105:115008

ORIGINAL REFERENCE NO.: 105:18619a,18622a

TITLE: Syntheses of carbon-14-labeled prizidilol dihydrochloride

AUTHOR(S): Saunders, D.; Warrington, B. H.

CORPORATE SOURCE: Smith Kline and French Res. Ltd.,
Welwyn/Hertfordshire, AL6 9AR, UK

SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals
(1985), 22(9), 869-81

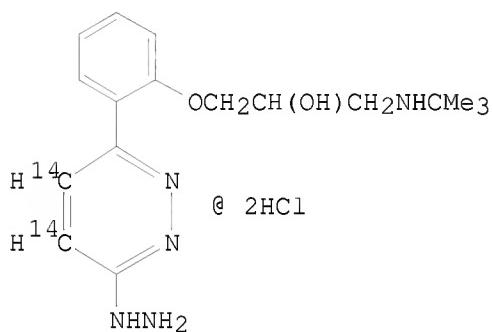
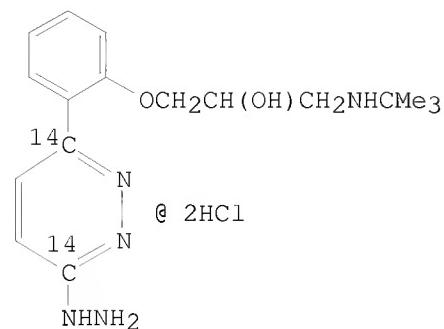
CODEN: JLCRD4; ISSN: 0362-4803

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 105:115008

GI



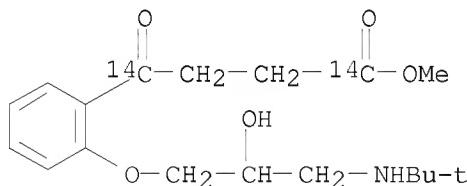
AB Two syntheses of radiolabeled prizidilol-2HCl are described. A ten-stage synthesis gave [3,6-14C2]prizidilol-2HCl I in an overall yield of 0.91%. A later, alternative procedure led to [4,5-14C2]prizidilol-2HCl II with an overall radiochem. yield of 8%.

IT 103913-02-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for carbon-14-labeled prizidilol dihydrochloride)

RN 103913-02-6 CAPLUS

CN Benzenebutanoic-carboxy, γ -14C2 acid, 2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]- γ -oxo-, methyl ester (9CI) (CA INDEX NAME)

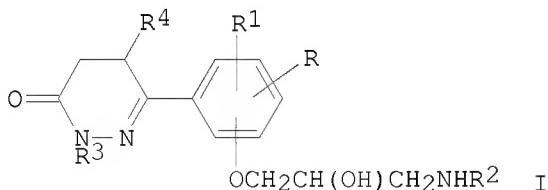


L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1978:152654 CAPLUS
DOCUMENT NUMBER: 88:152654
ORIGINAL REFERENCE NO.: 88:24065a, 24068a
TITLE: Dihydropyridazinones
INVENTOR(S): Coates, William John; Roe, Anthony Maitland; Slater,

PATENT ASSIGNEE(S): Robert Antony
 SOURCE: Smith Kline and French Laboratories Ltd., UK
 Brit., 25 pp.
 CODEN: BRXXAA

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1488330	A	19771012	GB 1973-58726	19731219
ZA 7407462	A	19751231	ZA 1974-7462	19741121
AU 7475724	A	19760527	AU 1974-75724	19741125
CA 1033733	A1	19780627	CA 1974-214774	19741127
IL 46158	A	19780831	IL 1974-46158	19741129
DK 7406340	A	19750825	DK 1974-6340	19741205
DK 142870	B	19810216		
DK 142870	C	19810921		
BE 823103	A1	19750609	BE 1974-151287	19741209
FI 7403569	A	19750620	FI 1974-3569	19741211
US 3931177	A	19760106	US 1974-531957	19741212
SE 7415691	A	19750623	SE 1974-15691	19741213
SE 411666	B	19800514		
SE 413405	C	19800911		
DE 2459468	A1	19750703	DE 1974-2459468	19741216
FR 2255070	A1	19750718	FR 1974-41471	19741217
FR 2255070	B1	19790921		
CH 608794	A5	19790131	CH 1974-16775	19741217
JP 50093984	A	19750726	JP 1974-146279	19741218
HU 170633	B	19770728	HU 1974-SI1445	19741218
SU 578872	A3	19771030	SU 1974-2088301	19741218
NL 7416578	A	19750623	NL 1974-16578	19741219
ES 433135	A1	19761116	ES 1974-433135	19741219
PRIORITY APPLN. INFO.:			GB 1973-58726	A 19731219
GI				



AB Forty title compds. I [R = H, alkyl, alkenyl, CF₃, halo, cyano, NO₂, OH, alkoxy, alkenyloxy, NH₂, substituted amino; R₁ = H, Me; R₂ = Me₂CH, Me₃C; RR₁ = benzo; R₃ and R₄ (same or different) are H or Me] and their salts, useful as β-adrenergic blocking agents and antihypertensives (no data) were prepared Any I were prepared from RR₁(HO)C₆H₂COCHR₄CH₂COR₅ (R₅ = OH, NH₂, alkoxy, alkylamino) by treatment with an epihalohydrin, R₂NH₂,

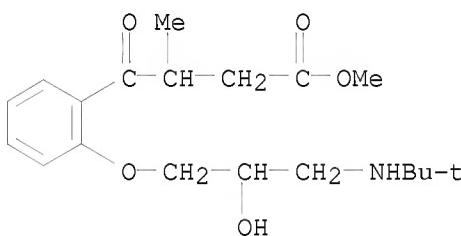
and N₂N₄ or MeHNH₂. Thus, 6-[4-(2-hydroxy-3-isopropylaminopropoxy)phenyl]-4,5-dihydro-3(2H)-pyridazinone was prepared from 4-HOC₆H₄CO(CH₂)₂CONHMe by sequential treatment with epichlorohydrin, Me₂CHNH₂, and N₂N₄.

IT 59010-65-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with hydrazine)

RN 59010-65-0 CAPLUS

CN Benzenebutanoic acid, 2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]- β -methyl- γ -oxo-, methyl ester (CA INDEX NAME)

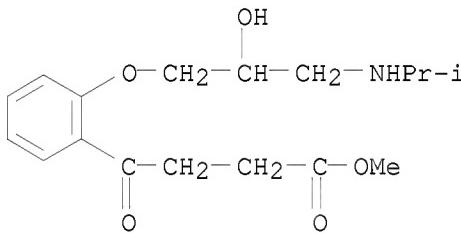


IT 56871-95-5P 56871-97-7P 56872-58-3P
59010-52-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in arylidihydropyridazinone preparation)

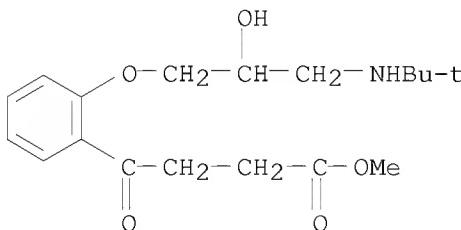
RN 56871-95-5 CAPLUS

CN Benzenebutanoic acid, 2-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]- γ -oxo-, methyl ester (CA INDEX NAME)



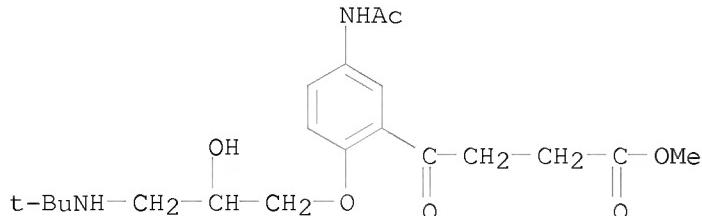
RN 56871-97-7 CAPLUS

CN Benzenebutanoic acid, 2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]- γ -oxo-, methyl ester (CA INDEX NAME)

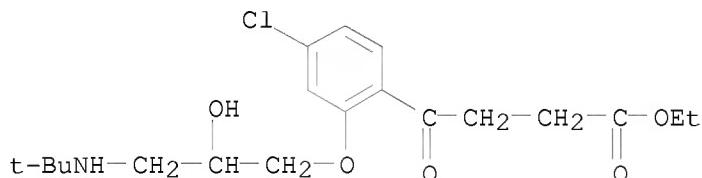


10/923, 271

RN 56872-58-3 CAPLUS
CN Benzenebutanoic acid, 5-(acetylamino)-2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]- γ -oxo-, methyl ester (CA INDEX NAME)



RN 59010-52-5 CAPLUS
CN Benzenebutanoic acid, 4-chloro-2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]- γ -oxo-, ethyl ester (CA INDEX NAME)



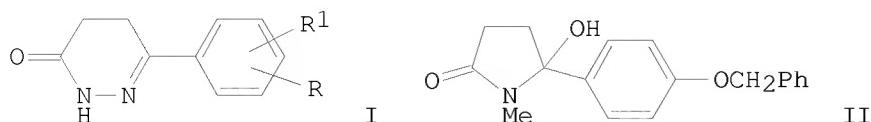
L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1977:423316 CAPLUS
DOCUMENT NUMBER: 87:23316
ORIGINAL REFERENCE NO.: 87:3697a, 3700a
TITLE: Pharmaceutical compositions and methods of inhibiting β -adrenergic receptors
INVENTOR(S): Coates, William John; Roe, Anthony Maitland; Slater, Robert Antony
PATENT ASSIGNEE(S): Smith Kline and French Laboratories Ltd., UK
SOURCE: U.S., 15 pp. Division of U.S. 3,931,177.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4011321	A	19770308	US 1975-613601	19750915
US 3931177	A	19760106	US 1974-531957	19741212
PRIORITY APPLN. INFO.:			US 1974-531957	A3 19741212
			GB 1973-58726	A 19731219

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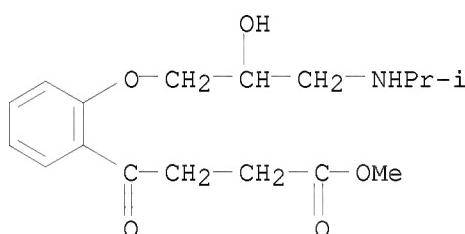


AB β -Sympatholytic and antihypertensive (no data) pyridazinones I [R = 2-, 3-, 4-OCH₂CH(OH)CH₂NHR₂; R₁ = 3-allyl, 3-Cl, H, 3-OMe, 4-Me, 3-NO₂, 5-NHAc; R₂ = CHMe₂, CMe₃] (13 compds.) were prepared In successive reactions, 4-PhCH₂OC₆H₄Br was subjected to Grignard reaction with N-methylsuccinimide, II treated with HBr, 4-HOC₆H₄COCH₂CH₂CONHMe treated with epichlorohydrin and Me₂CHNH₂, and 4-Me₂CHNHCH₂CH(OH)CH₂OC₆H₄COCH₂CH₂C₆H₄ONHMe treated with N₂H₄ to give I [R = 4-OCH₂CH(OH)CH₂NHCHMe₂].

IT 56871-95-5P 56871-97-7P 56872-58-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydrazine)

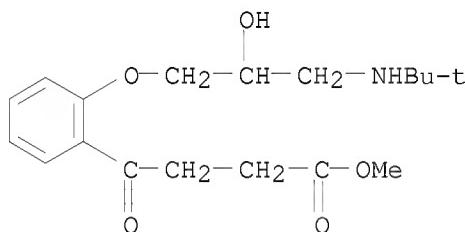
RN 56871-95-5 CAPLUS

CN Benzenebutanoic acid, 2-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]- γ -oxo-, methyl ester (CA INDEX NAME)



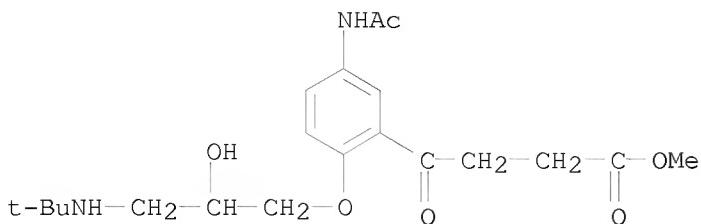
RN 56871-97-7 CAPLUS

CN Benzenebutanoic acid, 2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]- γ -oxo-, methyl ester (CA INDEX NAME)



RN 56872-58-3 CAPLUS

CN Benzenebutanoic acid, 5-(acetylamino)-2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]- γ -oxo-, methyl ester (CA INDEX NAME)



L3 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1976:164819 CAPLUS
 DOCUMENT NUMBER: 84:164819
 ORIGINAL REFERENCE NO.: 84:26766h, 26767a
 TITLE: 6-Hydrazinopyridazines
 INVENTOR(S): Coates, William J.; Roe, Anthony M.; Slater, Robert A.; Taylor, Edwin Michael
 PATENT ASSIGNEE(S): Smith Kline and French Laboratories Ltd., UK
 SOURCE: Ger. Offen., 63 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2527066	A1	19760108	DE 1975-2527066	19750618
GB 1527712	A	19781011	GB 1974-26864	19740618
ZA 7503277	A	19760428	ZA 1975-3277	19750521
IL 47351	A	19800229	IL 1975-47351	19750526
AU 7581581	A	19761202	AU 1975-81581	19750527
DK 7502452	A	19751219	DK 1975-2452	19750530
DK 145099	B	19820830		
DK 145099	C	19830131		
US 4053601	A	19771011	US 1975-583379	19750603
BE 830158	A1	19751212	BE 1975-157265	19750612
CA 1067078	A1	19791127	CA 1975-229160	19750612
FI 7501790	A	19751219	FI 1975-1790	19750616
FI 62532	B	19820930		
FI 62532	C	19830110		
HU 175418	B	19800728	HU 1975-SI1472	19750616
SE 7506947	A	19751219	SE 1975-6947	19750617
SE 416650	B	19810126		
SE 416650	C	19810507		
JP 51013782	A	19760203	JP 1975-74260	19750617
CH 617429	A5	19800530	CH 1975-7871	19750617
NL 7507267	A	19751222	NL 1975-7267	19750618
FR 2275213	A1	19760116	FR 1975-19034	19750618
FR 2275213	B1	19790810		
ES 438685	A1	19770516	ES 1975-438685	19750618
SU 799661	A3	19810123	SU 1975-2145553	19750618
US 4111936	A	19780905	US 1977-816986	19770719
US 4111935	A	19780905	US 1977-816993	19770719
SU 862824	A3	19810907	SU 1978-2145553	19781222

PRIORITY APPLN. INFO.:

GB 1974-26864

A 19740618

GB 1975-20

A 19750102

GB 1975-2075

A 19750102

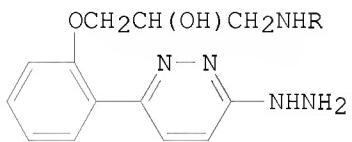
US 1975-583379

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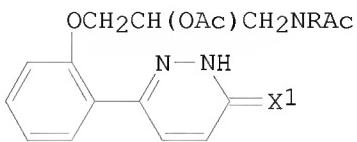
OTHER SOURCE(S) :

MARPAT 84:164819

GI

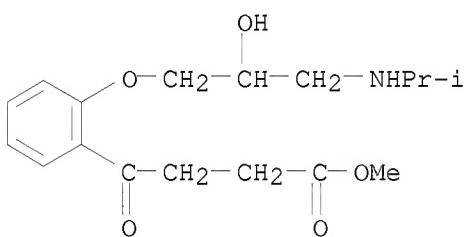


I



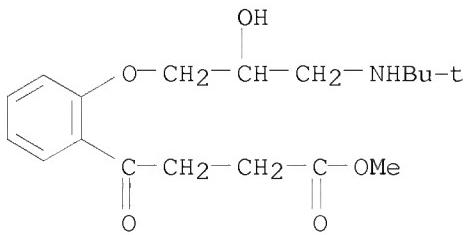
III

- AB Vasodilating and β -sympatholytic (no data) hydrazinopyridazines I ($R = CHMe_2, CMe_3$) were prepared by esterifying 2-R₁OCH₂H₄CXCH₂CH₂COR₂ (II, R₁ = H, R₂ = OH, X = O), treating II (R₁ = H, R₂ = OMe, X = O) with epibromohydrin, treating II (R₁ = 2,3-epoxypropyl, R₂ = OMe, X = O) with RNH₂, and treating II (R₁ = CH₂CH(OH)CH₂NHR, R₂ = OMe, X = O) with N₂H₄, brominating-dehydrobrominating II (R₁ = CH₂CH(OH)CH₂NHR, XR₂ = NNHCO) in the presence of HOAc-Ac₂O, treating the pyridazinones III (X₁ = O) with P₂S₅, hydrolyzing III (X₁ = S) and treating with N₂H₄.
 IT 56871-95-5P 56871-97-7P 56872-58-3P
 59010-52-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and condensation of, with hydrazine)
 RN 56871-95-5 CAPLUS
 CN Benzenebutanoic acid, 2-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-
 γ -oxo-, methyl ester (CA INDEX NAME)



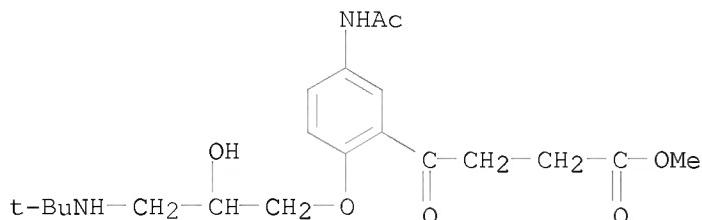
RN 56871-97-7 CAPLUS

CN Benzenebutanoic acid, 2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-
γ-oxo-, methyl ester (CA INDEX NAME)

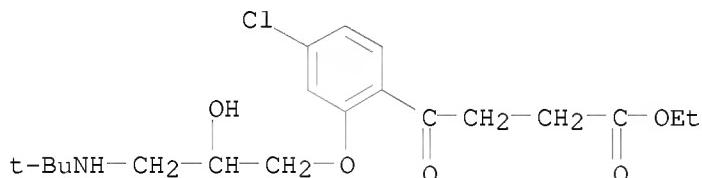


10/923,271

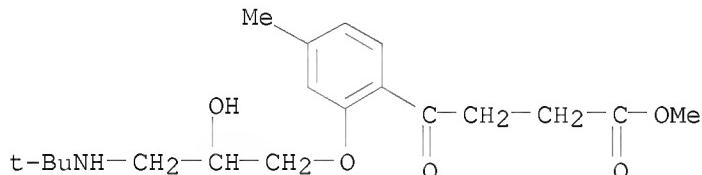
RN 56872-58-3 CAPLUS
CN Benzenebutanoic acid, 5-(acetylamino)-2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]- γ -oxo-, methyl ester (CA INDEX NAME)



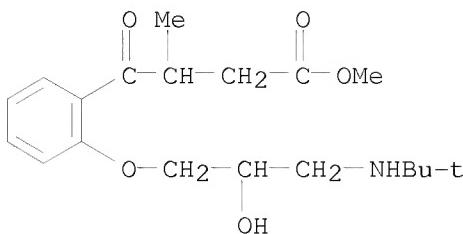
RN 59010-52-5 CAPLUS
CN Benzenebutanoic acid, 4-chloro-2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]- γ -oxo-, ethyl ester (CA INDEX NAME)



IT 59010-49-0P 59010-65-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 59010-49-0 CAPLUS
CN Benzenebutanoic acid, 2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-4-methyl- γ -oxo-, methyl ester (CA INDEX NAME)



RN 59010-65-0 CAPLUS
CN Benzenebutanoic acid, 2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]- β -methyl- γ -oxo-, methyl ester (CA INDEX NAME)



L3 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1975:564219 CAPLUS

DOCUMENT NUMBER: 83:164219

ORIGINAL REFERENCE NO.: 83:25775a,25778a

TITLE: Substituted arylidihydropyridazinones and their salts

INVENTOR(S): Coates, William J.; Roe, Anthony M.; Slater, Robert A.

PATENT ASSIGNEE(S): Smith Kline and French Laboratories Ltd., UK

SOURCE: Ger. Offen., 55 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2459468	A1	19750703	DE 1974-2459468	19741216
GB 1488330	A	19771012	GB 1973-58726	19731219

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

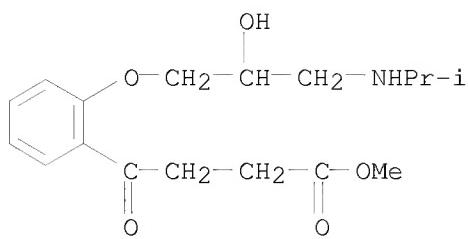
AB Antihypertensive and β -sympatholytic pyridazinones I ($X = p\text{-C}_6\text{H}_4$, $\text{o-C}_6\text{H}_4$, 1,4-naphthalenediyl, 4-R₁C₆H₃-m, 3-R₁C₆H₃-p, 2-HOC₆H₃-m, 5-AcNH₂C₆H₃-o; R = CHMe₂, CMe₃; R₁ = allyl, Cl, OMe, Me, NO₂) were prepared. Thus N-methylsuccinimide was subjected to Grignard reaction with 4-PhCH₂OOC₆H₄Br, 2-(4-benzoyloxyphenyl)-2-hydroxy-1-methyl-5-pyrrolidinone dehydrated and hydrolyzed to 4-HOC₆H₄COCH₂CH₂CONHMe, which was treated with epichlorohydrin to give 3-[4-(2,3-epoxypropoxy)benzyl]-N-methylpropionamide. Reaction of the epoxy compound with Me₂CHNH₂ gave 4-Me₂CHNHCH₂CH(OH)CH₂OOC₆H₄COCH₂CH₂CONHMe, which was cyclized with N₂H₄ to I ($X = p\text{-C}_6\text{H}_4$, R = CHMe₂).

IT 56871-95-5P 56871-97-7P

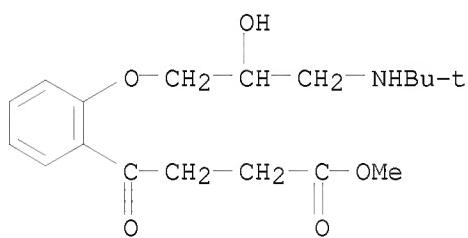
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with hydrazine)

RN 56871-95-5 CAPLUS

CN Benzenebutanoic acid, 2-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]- γ -oxo-, methyl ester (CA INDEX NAME)



RN 56871-97-7 CAPLUS
 CN Benzenebutanoic acid, 2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-
 gamma-oxo-, methyl ester (CA INDEX NAME)



IT 56872-58-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction of, with hydrazine)
 RN 56872-58-3 CAPLUS
 CN Benzenebutanoic acid, 5-(acetylamino)-2-[3-[(1,1-dimethylethyl)amino]-2-
 hydroxypropoxy]-gamma-oxo-, methyl ester (CA INDEX NAME)

